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                 IMSPRODUCT reloaded with enhancements
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                  U.S. National Patent Classification
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                 CAS REGISTRY enhanced with additional experimental
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                  applications updated
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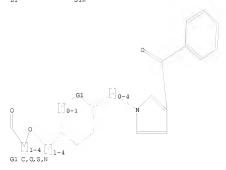
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chain nodes :
6 7 8 16 17 21 22 23
ring nodes :
1 2 3 4 5 10 11 12 13 14 15 26 27 28 29 30 31
chain bonds :
2-6 5-8 6-26 6-7 8-10 13-16 16-17 17-21 21-22 22-23
ring bonds :
1-2 1-5 2-3 3-4 4-5 10-11 10-15 11-12 12-13 13-14 14-15 26-27 26-31
27-28 28-29 29-30 30-31
exact/norm bonds :
1-2 1-5 2-3 2-6 3-4 4-5 5-8 6-26 6-7 8-10 10-11 10-15 11-12 12-13
13-14 13-16 14-15 16-17 17-21 21-22 22-23
normalized bonds :
26-27 26-31 27-28 28-29 29-30 30-31
isolated ring systems :
containing 1 : 26 :
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G1:C,O,S,N

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 21:CLASS 22:CLASS 23:CLASS 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom

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=> s 11 SAMPLE SEARCH INITIATED 17:16:25 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 748 TO ITERATE

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PROJECTED ITERATIONS: 13320 TO 16600
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L2 1 SEA SSS SAM L1

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L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:700231 CAPLUS

DOCUMENT NUMBER: 145:167259

TITLE: Preparation of heterocyclic derivatives as PPAR

 α and PPAR γ agonists

INVENTOR(S): Takahashi, Yoko; Nagata, Ryu; Ushiroda, Kantaro

PATENT ASSIGNEE(S): Dainippon Sumitomo Pharma Co., Ltd., Japan

SOURCE: PCT Int. Appl., 195 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GI

| PATENT NO. | | | APPLICAT | | | | | | | | |
|--------------------|--------------|-------------------|-------------|------------|----------------|--|--|--|--|--|--|
| | | | | | | | | | | | |
| WO 2006075638 | A1 | 20060720 | WO 2006- | JP300248 | 20060112 | | | | | | |
| | | | | | Y, BZ, CA, CH, | | | | | | |
| CN, C | O, CR, CU, (| CZ, DE, DK, | DM, DZ, EC, | EE, EG, ES | S, FI, GB, GD, | | | | | | |
| GE, G | H, GM, HR, H | HU, ID, IL, | IN, IS, JP, | KE, KG, KI | M, KN, KP, KR, | | | | | | |
| KZ, L | C, LK, LR, | LS, LT, LU, | LV, LY, MA, | MD, MG, MI | K, MN, MW, MX, | | | | | | |
| MZ, N | A, NG, NI, I | NO, NZ, OM, | PG, PH, PL, | PT, RO, RU | U, SC, SD, SE, | | | | | | |
| SG, S | K, SL, SM, | SY, TJ, TM, | TN, TR, TT, | TZ, UA, UG | G, US, UZ, VC, | | | | | | |
| VN, Y | J, ZA, ZM, : | ZW | | | | | | | | | |
| RW: AT, B | E, BG, CH, | CY, CZ, DE, | DK, EE, ES, | FI, FR, G | B, GR, HU, IE, | | | | | | |
| IS, I | r, LT, LU, | LV, MC, NL, | PL, PT, RO, | SE, SI, SI | K, TR, BF, BJ, | | | | | | |
| CF, C | G, CI, CM, G | GA, GN, GQ, | GW, ML, MR, | NE, SN, TI | D, TG, BW, GH, | | | | | | |
| GM, K | E, LS, MW, I | MZ, NA, SD, | SL, SZ, TZ, | UG, ZM, ZV | W, AM, AZ, BY, | | | | | | |
| KG, K | Z, MD, RU, | TJ, TM | | | | | | | | | |
| EP 1837329 | A1 | 20070926 | EP 2006- | 702664 | 20060112 | | | | | | |
| R: AT, B | E, BG, CH, | CY, CZ, DE, | DK, EE, ES, | FI, FR, G | B, GR, HU, IE, | | | | | | |
| IS, I | r, LI, LT, | LU, LV, MC, | NL, PL, PT, | RO, SE, SI | I, SK, TR | | | | | | |
| PRIORITY APPLN. IN | 0.: | | JP 2005- | 6950 | A 20050114 | | | | | | |
| | | | JP300248 | W 20060112 | | | | | | | |
| OTHER SOURCE(S): | MARP | MARPAT 145:167259 | | | | | | | | | |

AB The title compds. I [the ring 2 is an optionally substituted heteroary], W4 is a single bond, lower alkylene, lower alkenylene, etc., Ar2 is an optionally substituted aryl, optionally substituted heteroaryl; W3 is a single bond, lower alkylene, lower alkenylene, etc., Ar1 is an optionally substituted arylene, optionally substituted heteroarylene; each of W1 and W2 is an optionally substituted lower alkylene, optionally substituted lower alkeylene, optionally substituted lower alkenylene; and R1 is carboxyl, an alkoxycarbonyl, optionally substituted (arbamoyl, etc.] are prepared Thus, 2-methyl-2-[(4-m(t1Z)-3-[2-(4-methylbenzyol)-1H-pyrrol-1-yl)propl-en-1-yl)benzyl)oxylpropionic acid was prepared in a multistep process starting from 1-benzenesulfonyl-1H-pyrrole and p-tolucyl chloride. The PPAR α and PPAR γ agonist activities of compds. of this invention at 10μM were demonstrated.

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic derivs. as PPAR α and PPAR γ agonists)

- RN 900182-33-4 CAPLUS
- CN Propanoic acid, 2-[[4-[[3-(4-methylbenzoyl)-1H-pyrrol-1yl]methyl]phenyl]methoxy]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 900182-63-0 CAPLUS
- CN Propanoic acid, 2-[[(2E)-3-[4-[[3-(4-methylbenzoyl)-1H-pyrrol-1-yl]methyl]phenyl]-2-propen-1-yl]oxy]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

REFERENCE COUNT:

18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:677588 CAPLUS 145:124570

DOCUMENT NUMBER:

TITLE: Preparation of 2-benzoylpyrrole, 2-benzoylimidazole,

2-benzoylbenzimidazole derivatives and related compounds for treatment or prevention of

hyperlipidemia, arteriosclerosis, and/or metabolic

syndrome

INVENTOR(S): Nagano, Tomokazu

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkvo Koho, 181 pp.

CODEN: JKXXAF DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|------------|-----------------|----------|
| | | | | |
| JP 2006182668 | A | 20060713 | JP 2004-375862 | 20041227 |
| PRIORITY APPLN. INFO.: | | | JP 2004-375862 | 20041227 |
| OTHER SOURCE(S): | MARPAT | 145:124570 | | |
| GT | | | | |

AB The title compds. [e.g. I; Zb = (un)substituted pyrrole, pyrazole, imidazole, triazole, indole, indazole, or benzimidazole; W2b = a single bond, SO, SO2, (un) substituted CONH or SO2NH, (un) substituted C1-4 alkylene, C2-4 alkenylene, or C2-4 alkynylene optionally two H atoms of methylene group substituted with 0 to form a CO group; Ar1b, Ar2b = (un) substituted aryl or heteroaryl; W1b = (un) substituted C1-5 alkylene, C2-5 alkenylene, or C2-5 alkynylene, -Yb-W3b- (Yb = 0, S, (un)substituted NH; W3b = (un)substituted C1-4 alkylene, C2-4 alkenylene, or C2-4 alkynylene), etc.; X1b = S02, OCO2, S020, (un)substituted CONHS02, NHS02, NHCO, SO2NHCO, SO2NH, CONH, OCONH, NHCONH, or NHC(NH2):N-, etc.; R1b = CO2H, alkoxycarbonyl, (un)substituted CONH2, cyclic aminocarbonyl, alkylsulfonylcarbamoyl, arylsulfonylcarbonyl, or heteroarylsulfonylcarbonyl, tetrazolyl, 2,4-dioxooxazolidin-5-yl, etc.] are prepared These compds. are agonists (activators) of PPARα and/or PPARy and not only improve hyperglycemia but also possess lipid improving activity such as improving hypertriglyceridemia and increasing HDL cholesterol. They are useful for the treatment or prevention of

II

hyperlipidemia, arteriosclerosis, and/or the metabolic syndrome. For example, compound (II).Na activated human PPAR α and human PPAR γ by 15.1 and 7.0%, resp., at 10 μ M. When PPAR α and sumministered to mice at 30 mg/kg for 2 wk p.o., it lowered blood sugar and triglyceride by 70 and

89%, resp., and increased HDL by 41%. 840502-82-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-benzoylpyrrole, 2-benzoylimidazole, 2-benzoylbenzimidazole derivs. and related compds. for treatment or prevention of

hyperlipidemia, arteriosclerosis, and/or metabolic syndrome)

RN 840502-82-1 CAPLUS

CN Propanoic acid, 2-[(4-[(1E)-3-[3-(4-methylbenzoyl)-1H-pyrrol-1-yl]-1propenyl]phenyl]methoxy]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:120880 CAPLUS DOCUMENT NUMBER: 142:219144

TITLE:

Preparation of benzoylpyrrole derivatives as PPAR

agonist

INVENTOR(S): Watanabe, Ken-ichi; Maruta, Katsunori; Ushiroda,

Kantaro; Nagata, Ryu

PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan

SOURCE: PCT Int. Appl., 121 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

GI

| | PATENT NO. | | | | KIND DATE | | | APPLICATION NO. | | | | | | | | | | |
|------------|----------------|-------|-------|-------------|-----------|------|-----------------|-----------------|-----------------|-------|------|-------|------|------|------|-----|------|-----|
| | WO 2005012245 | | | A1 20050210 | | | WO 2004-JP10282 | | | | | | | | | | | |
| | | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB | , BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | | | CN, | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ | , EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS | , JP, | KE, | KG, | KP, | KR, | ΚZ, | LC, |
| | | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG | , MK, | MN, | MW, | MX, | MZ, | NA, | NI, |
| | | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU | , sc, | SD, | SE, | SG, | SK, | SL, | SY, |
| | | | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US | , UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW |
| | | RW: | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD | , SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, |
| | | | AZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM, | AT | , BE, | BG, | CH, | CY, | CZ, | DE, | DK, |
| | | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | IT. | , LU, | MC, | NL, | PL, | PT, | RO, | SE, |
| | | | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM | , GA, | GN, | GQ, | GW, | ML, | MR, | NE, |
| | | | SN, | TD, | TG | | | | | | | | | | | | | |
| | CA 2531064 | | | | | | | | CA 2004-2531064 | | | | | | | | | |
| EP 1647546 | | | | A1 | | 2006 | 0419 | | EP : | 2004- | 7477 | 46 | | 2 | 0040 | 713 | | |
| | | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR | , IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | | ΙE, | SI, | FI, | RO, | CY, | TR, | BG, | CZ, | EE | , HU, | PL, | SK | | | | |
| | US 20060194857 | | | | | | | | | | | | | | | | | |
| | CN | 1849 | 303 | | | A | | 2006 | 1018 | | CN : | 2004- | 8002 | 6235 | | 2 | | |
| | IN | 2006 | CN00 | 142 | | A | | 2007 | 0629 | | IN: | 2006- | CN14 | 2 | | 2 | 0060 | 112 |
| | MX | 2006 | PA00. | 539 | | A | | 2006 | 0330 | | MX : | 2006- | PA53 | 9 | | 2 | 0060 | 113 |
| PRIO | RIT | Y APP | LN. | INFO | . : | | | | | | | 2003- | | | | | | |
| | | | | | | | | | | | WO : | 2004- | JP10 | 282 | | W 2 | 0040 | 713 |
| OTHE | R S | DURCE | (S): | | | MAR | PAT | 142: | 2191 | 44 | | | | | | | | |

- AB Title compds. represented by the formula I [wherein ring Z = (un) substituted heteroaryl; R1 = carboxyl, alkoxycarbonyl, (un) substituted carbamoyl, etc.; W1, W2 = independently (un) substituted alkyl; Ar1 = (un) substituted (hetero) arylene; W3 = single bond, alkylene, alkenylene or Y1W5; Y1 = 0, S, SO or SO2; W5 = alkylene or alkenylene; W4 = single bond, amino(alkylene), alkylene, alkenylene; Ar2 = (un) substituted (hetero) aryl; their prodrugs, and pharmaceutically acceptable salts thereof] were prepared as PPARα and PPARy agonist. For example, II was given in a multi-step synthesis starting from We 2-hydroxylsobutyrate. Selected I showed agonic activity of PPARα and PPARY, and were tested for lowering blood sugar effect. Thus, I are useful as PPARα and PPARY agonists for the treatment of diabetes.
- IT 840502-82-1P RL: PAG (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Heace)

(preparation of benzoylpyrrole derivs. as PPAR agonist for treatment of diabetes)

RN 840502-82-1 CAPLUS

Absolute stereochemistry. Double bond geometry as shown.

CN Propanoic acid, 2-[[4-[(1E)-3-[3-(4-methylbenzoyl)-1H-pyrrol-1-yl]-1propenyl]phenyl]methoxy]-, (2R)- (9CI) (CA INDEX NAME)

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REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
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